

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
12 September 2003 (12.09.2003)

PCT

(10) International Publication Number
WO 03/074515 A1

(51) International Patent Classification⁷: **C07D 403/12**,
401/14, 403/14, 405/14, 413/14, A61K 31/506, A61P
35/00

(21) International Application Number: PCT/US03/06022

(22) International Filing Date: 28 February 2003 (28.02.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/360,741 1 March 2002 (01.03.2002) US

(71) Applicant (for all designated States except US):
SMITHKLINE BEECHAM CORPORATION
[US/US]; One Franklin Plaza, Philadelphia, PA 19101
(US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHAMBERLAIN, Stanley, Dawes** [US/US]; c/o GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). **CHEUNG, Mui** [CN/US]; c/o GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). **EMERSON, Holly, Kathleen** [US/US]; c/o GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). **JOHNSON, Neil, W.** [US/US]; c/o GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). **NAILOR, Kristen, Elizabeth** [US/US]; c/o GlaxoSmithKline, Five Moore Drive, PO Box 13398,

Research Triangle Park, NC 27709 (US). **SAMMOND, Douglas, McCord** [US/US]; c/o GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). **SEMONES, Marcus** [US/US]; c/o GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US).

(74) Agents: **LEVY, David, J. et al.**; GlaxoSmithKline, Corporate Intellectual Property Department, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

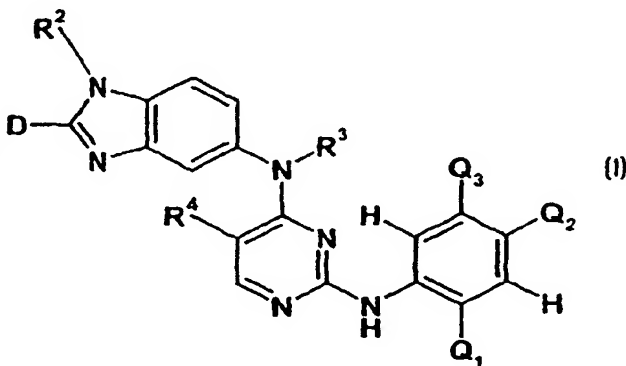
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: DIAMINO-PYRIMIDINES AND THEIR USE AS ANGIOGENESIS INHIBITORS



(57) Abstract: Benzimidazole derivatives of formula (I), which are useful as TIE-2 and/or VEGFR-2 inhibitors are described herein. The described invention also includes methods of making such benzimidazole derivatives as well as methods of using the same in the treatment of hyperproliferative diseases. (I)

WO 03/074515 A1